

Applicant : Patrizia Caldirola et al.
Serial No. : 10/037,110
Filed : October 22, 2001
Page : 2 of 26

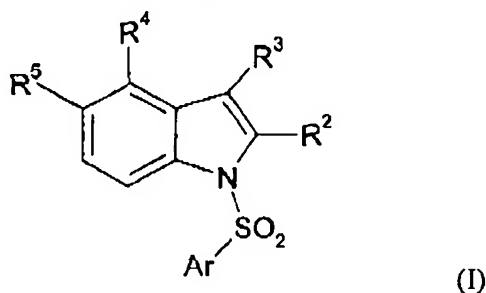
Attorney's Docket No.: 13425-052001 / 00382-US

Amendments to the Claims:

This listing of claims replaces all prior versions and listings of claims in the application:

Listing of Claims:

1. (Previously Presented) A compound of formula (I):



wherein

Ar is

(1) phenyl,

(2) naphthyl,

(3) a 5- to 10-membered monocyclic or bicyclic heterocyclic ring having 1 to 4 heteroatoms selected from the group consisting of oxygen, sulfur, or nitrogen, or

(4) -R⁹-phenyl;

wherein the phenyl, naphthyl, or heterocyclic ring is optionally substituted with halogen, C₁₋₆ alkyl, CF₃, hydroxyl, C₁₋₆ alkoxy, OCF₃, COCF₃, CN, NO₂, phenyloxy, phenyl, C₁₋₆ alkylsulfonyl, C₂₋₆ alkenyl, -NR⁷R⁸, C₁₋₆ alkylcarboxyl, formyl, -C₁₋₆ alkyl-NH-CO-phenyl, -C₁₋₆ alkyl-CO-NH-phenyl, -NH-CO-C₁₋₆ alkyl, -CO-NR⁷R⁸, or SR⁷; wherein each of R⁷ and R⁸ is independently H or C₁₋₆ alkyl; and R⁹ is C₁₋₆ alkyl or C₂₋₆ alkenyl, either of which is optionally substituted with phenyl or phenyloxy;

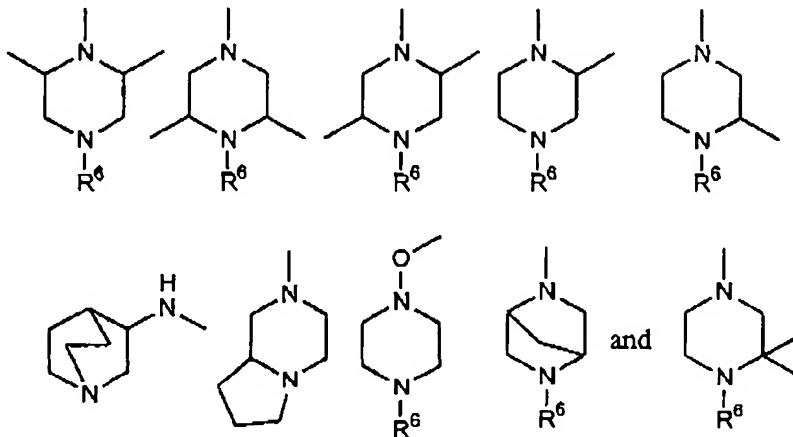
Applicant : Patrizia Caldirola et al.
Serial No. : 10/037,110
Filed : October 22, 2001
Page : 3 of 26

Attorney's Docket No.: 13425-052001 / 00382-US

R^2 is H, phenyl, I, or C_{1-6} alkyl;

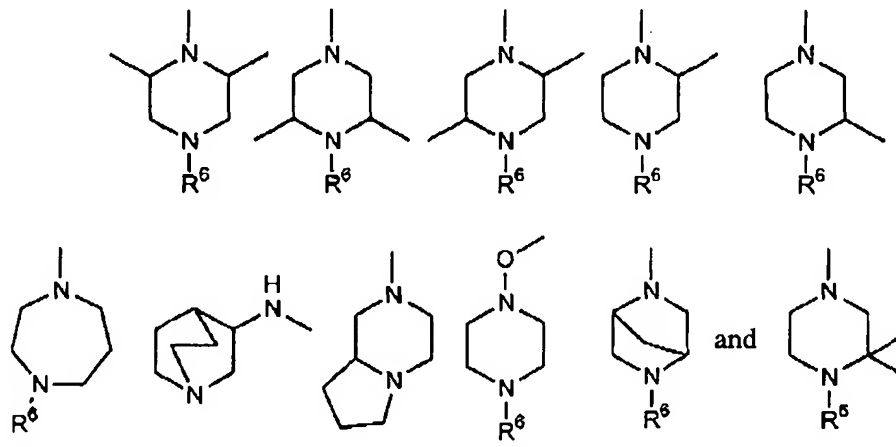
R^3 is H or 3-(1-azabicyclo[2.2.2]oct-2-en)yl;

R^4 is selected from the group consisting of:



wherein R^6 is H, C_{1-6} alkyl, or benzyl; and

R^5 is H, hydroxy, C_{1-3} alkoxy, F, NO_2 , CF_3 , OCF_3 , or is selected from the group consisting of:



or a pharmaceutically acceptable salt, hydrate, or stereoisomer thereof,
with the proviso that when R^2 is alkyl, R^4 is not H.

2. (Previously Presented) The compound according to claim 1, wherein

Applicant : Patrizia Caldirola et al.
Serial No. : 10/037,110
Filed : October 22, 2001
Page : 4 of 26

Attorney's Docket No.: 13425-052001 / 00382-US

Ar is

(1) phenyl that is unsubstituted or optionally mono- or poly-substituted with halogen, C₁₋₆ alkyl, CF₃, hydroxyl, C₁₋₆ alkoxy, OCF₃, CN, NO₂, phenyloxy, phenyl, alkylsulfonyl, C₁₋₆ alkenyl, -NH₂, -NHR⁷, -NR⁷R⁸, C₁₋₆ alkylcarboxyl, formyl, -NH-CO-C₁₋₆ alkyl, -CO-NR⁷R⁸, or SR⁷ wherein each of R⁷ and R⁸ is independently H or C₁₋₆ alkyl;

(2) 1-naphthyl or 2-naphthyl that is unsubstituted or optionally mono- or poly-substituted with halogen, C₁₋₆ alkyl, CF₃, hydroxyl, C₁₋₆ alkoxy, OCF₃, CN, NO₂, phenyloxy, phenyl, alkylsulfonyl, C₁₋₆ alkenyl, -NH₂, -NHR⁷, -NR⁷R⁸, C₁₋₆ alkylcarboxyl, formyl, -NH-CO-C₁₋₆ alkyl, -CO-NR⁷R⁸, or SR⁷ wherein each of R⁷ and R⁸ is independently H or C₁₋₆ alkyl;

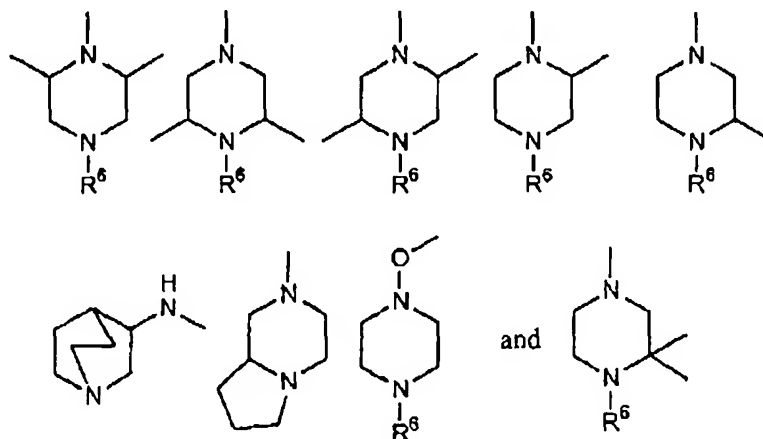
(3) cinnamoyl;

(4) benzyl;

(5) 1,1-diphenylethyl;

(6) a monocyclic or bicyclic heterocyclic ring selected from the group consisting of furyl, pyrrolyl, triazolyl, diazolyl, oxazolyl, thiazolyl, oxadiazolyl, isothiazolyl, isoxazolyl, thiadiazolyl, pyrimidyl, pyrazinyl, thienyl, imidazolyl, pyrazolyl, indolyl, quinoliny, isoquinoliny, benzofuryl, benzothienyl, and benzoxadiazolyl, said heterocyclic ring being optionally mono- or di-substituted substituted with halogen or C₁₋₆ alkyl;

R⁴ is selected from the group consisting of:

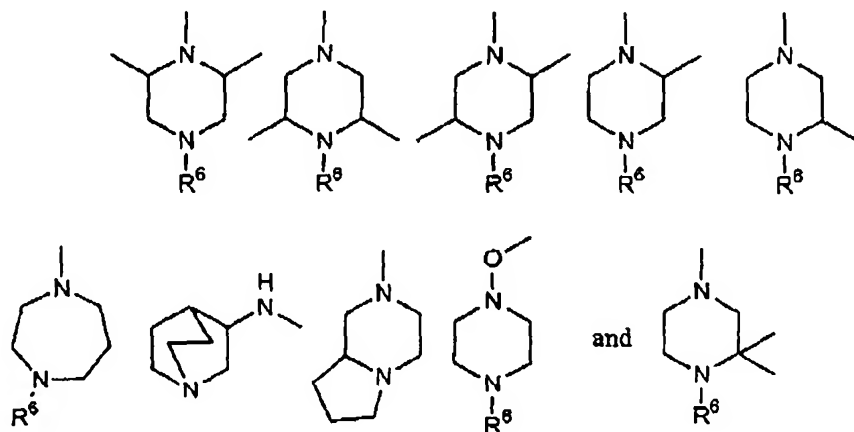


Applicant : Patrizia Caldirola et al.
Serial No. : 10/037,110
Filed : October 22, 2001
Page : 5 of 26

Attorney's Docket No.: 13425-052001 / 00382-US

wherein R^6 is H, C_{1-6} alkyl, or benzyl; and

R^5 is H, hydroxy, C_{1-3} alkoxy, F, NO_2 , CF_3 , OCF_3 or is selected from the group consisting of:



3. (Currently Amended) A compound according to claim 1, wherein Ar is

- (1) phenyl,
- (2) 1-naphthyl or 2-naphthyl,
- (3) a 5- to 10-membered monocyclic or bicyclic heterocyclic ring having 1 to 4 heteroatoms selected from the group consisting of oxygen, sulfur, or nitrogen, or
- (4) $-R^9$ -phenyl;

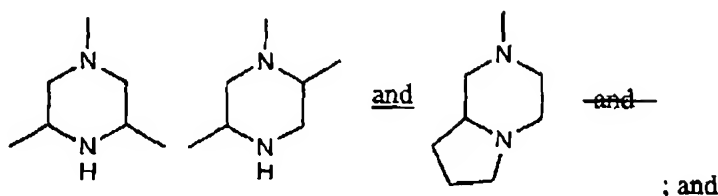
wherein the phenyl, naphthyl, or heterocyclic ring is optionally substituted with F, Cl, Br, C_{1-6} alkyl, CF_3 , hydroxyl, C_{1-6} alkoxy, OCF_3 , phenyl, C_{2-6} alkenyl, $-NR^7R^8$, $-NH-CO-C_{1-6}$ alkyl, or SR^7 , wherein each of R^7 and R^8 is independently H or C_{1-6} alkyl; and R^9 is C_{1-2} alkyl;

R^2 is H, phenyl, I, or C_{1-6} alkyl;

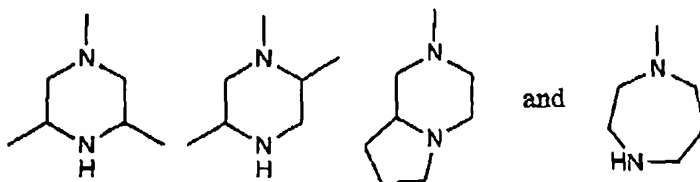
R^4 is selected from the group consisting of.

Applicant : Patrizia Caldirola et al.
 Serial No. : 10/037,110
 Filed : October 22, 2001
 Page : 6 of 26

Attorney's Docket No.: 13425-052001 / 00382-US



R^5 is C_{1-3} alkoxy or a heterocyclic ring selected from the group consisting of:



4. (Original) A compound according to claim 1, wherein Ar is phenyl, optionally substituted with F, Cl, Br, methyl, CF_3 , C_{1-4} alkoxy, OCF_3 , CN, NO_2 , phenyloxy, phenyl, methylsulfonyl, or $-NR^7R^8$, where each of R^7 and R^8 is independently H or methyl.

5. (Original) A compound according to claim 1, wherein Ar is 1-naphthyl or 2-naphthyl, each of which is optionally substituted with F, Cl, Br, methyl, CF_3 , C_{1-4} alkoxy, OCF_3 , CN, NO_2 , phenyloxy, phenyl, methylsulfonyl, or $-NR^7R^8$, where each of R^7 and R^8 is independently H or methyl.

6. (Original) A compound according to claim 1, wherein Ar is a heterocyclic ring selected from the group consisting of furyl, pyrrolyl, triazolyl, diazolyl, oxazolyl, thiazolyl, oxadiazolyl, isothiazolyl, isoxazolyl, thiadiazolyl, pyridinyl, pyrimidyl, pyrazinyl, thienyl, imidazolyl, pyrazolyl, indolyl, quinoliny, isoquinoliny, benzofuryl, benzothienyl, and benzoxadiazolyl, each of which is optionally substituted with halogen, C_{1-6} alkyl, CF_3 , hydroxyl, C_{1-6} alkoxy, OCF_3 , CN, NO_2 , phenyloxy, phenyl, C_{1-6} alkylsulfonyl, C_{2-6} alkenyl, $-NR^7R^8$, C_{1-6} alkylcarboxyl, formyl, $-NH-CO-C_{1-6}$ alkyl, $-CO-NR^7R^8$, or SR^7 ; wherein each of R^7 and R^8 is independently H or C_{1-6} alkyl.

Applicant : Patrizia Caldirola et al.
Serial No. : 10/037,110
Filed : October 22, 2001
Page : 7 of 26

Attorney's Docket No.: 13425-052001 / 00382-US

7. (Original) A compound according to claim 1, wherein Ar is a heterocyclic ring selected from the group consisting of pyridinyl, thienyl, imidazolyl, pyrazolyl, benzothienyl, and benzoxadiazolyl, each of which is optionally substituted with halogen or C₁₋₆ alkyl.

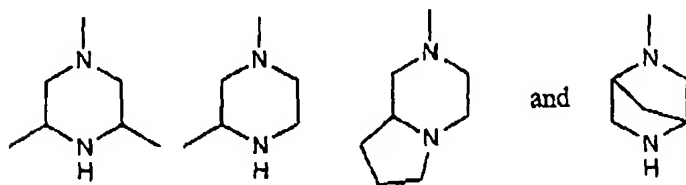
8. (Original) A compound according to claim 1, wherein Ar is 2-pyridyl, 3-pyridyl, or 4-pyridyl.

9. (Original) A compound according to claim 1, wherein Ar is a 5- to 7-membered aromatic, partially saturated, or completely saturated heterocyclic ring having 1 to 4 heteroatoms selected from the group consisting of O, S, or NR¹⁰, where R¹⁰ is H, C₁₋₆ alkyl, -CO-CF₃, or absent.

10. (Original) A compound according to claim 1, wherein Ar is -R⁹-phenyl, wherein R⁹ is C₁₋₃ alkyl or C₂₋₃ alkenyl, either of which is optionally substituted with phenyl or phenyloxy, each phenyl being optionally substituted with F, Cl, Br, methyl, CF₃, C₁₋₄ alkoxy, OCF₃, CN, NO₂, phenyloxy, phenyl, methylsulfonyl, or -NR⁷R⁸; and each of R⁷ and R⁸ being independently H or C₁₋₆ alkyl.

11. (Original) A compound according to claim 1, wherein each of R² and R³ is H.

12. (Previously Presented) A compound according to claim 1, wherein R⁴ is independently a heterocyclic ring selected from the group consisting of:

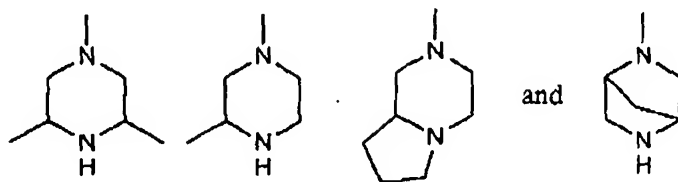


, and R⁵ is independently H or a

heterocyclic ring selected from the group consisting of:

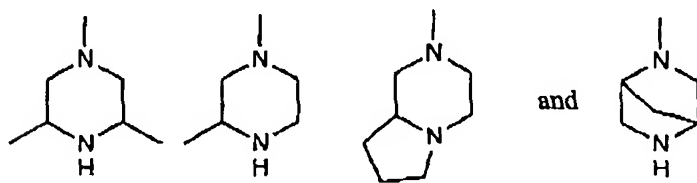
Applicant : Patrizia Caldirola et al.
 Serial No. : 10/037,110
 Filed : October 22, 2001
 Page : 8 of 26

Attorney's Docket No.: 13425-052001 / 00382-US

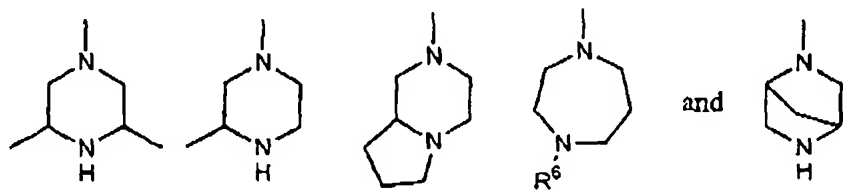


wherein R^6 is H, C_{1-3} alkyl, or benzyl.

13. (Previously Presented) A compound according to claim 1, wherein Ar is phenyl, optionally substituted with F, Cl, Br, methyl, CF_3 , C_{1-4} alkoxy, OCF_3 , CN, NO_2 , phenyloxy, phenyl, methylsulfonyl, or $-NR^7R^8$ where each of R^7 and R^8 is independently H or methyl; each of R^2 and R^3 is H; and R^4 is independently a heterocyclic ring selected from the group consisting of:



, and R^5 is independently H or a heterocyclic ring selected from the group consisting of:

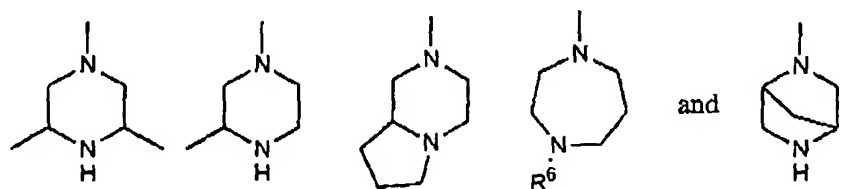
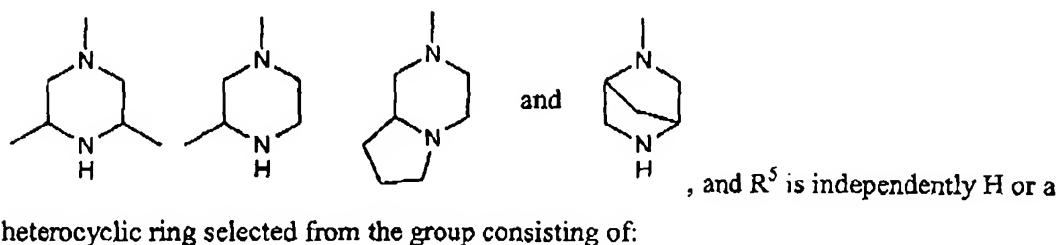


wherein R^6 is H, C_{1-3} alkyl, or benzyl.

14. (Previously Presented) A compound according to claim 1, wherein Ar is 1-naphthyl or 2-naphthyl, each of which is optionally substituted with F, Cl, Br, methyl, CF_3 , C_{1-4} alkoxy, OCF_3 , CN, NO_2 , phenyloxy, phenyl, methylsulfonyl, or $-NR^7R^8$, where each of R^7 and R^8 is independently H or methyl; each of R^2 and R^3 is H; and R^4 is independently a heterocyclic ring selected from the group consisting of:

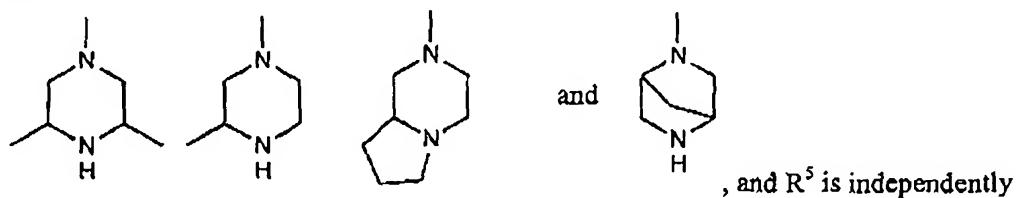
Applicant : Patrizia Caldirola et al.
 Serial No. : 10/037,110
 Filed : October 22, 2001
 Page : 9 of 26

Attorney's Docket No.: 13425-052001 / 00382-US

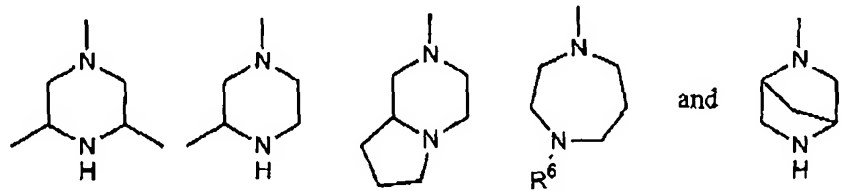


wherein R^6 is H, C_{1-3} alkyl, or benzyl.

15. (Previously Presented) A compound according to claim 1, wherein Ar is a heterocyclic ring selected from the group consisting of pyridinyl, thienyl, imidazolyl, pyrazolyl, benzothienyl, and benzoxadiazolyl, each being optionally substituted with halogen or C_{1-6} alkyl; each of R^2 and R^3 is H; and R^4 is independently a heterocyclic ring selected from the group consisting of:



H or a heterocyclic ring selected from the group consisting of:

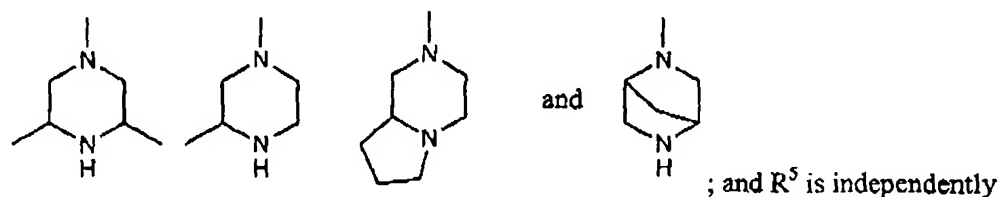


wherein R^6 is H, C_{1-3} alkyl, or benzyl.

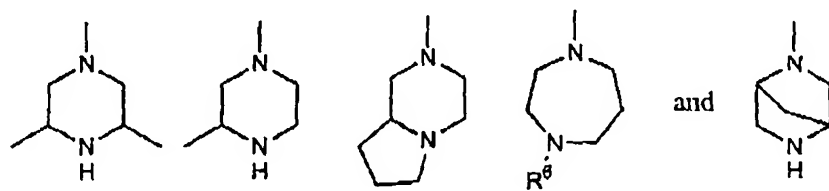
Applicant : Patrizia Caldirola et al.
Serial No. : 10/037,110
Filed : October 22, 2001
Page : 10 of 26

Attorney's Docket No.: 13425-052001 / 00382-US

16. (Previously Presented) A compound according to claim 1, wherein Ar is 2-pyridyl, 3-pyridyl, or 4-pyridyl; each of R^2 and R^3 is H; and R^4 is independently a heterocyclic ring selected from the group consisting of:

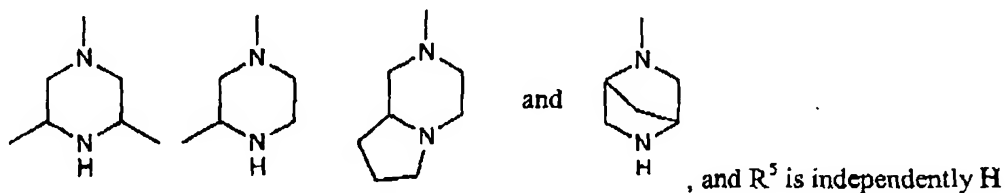


H or a heterocyclic ring selected from the group consisting of:

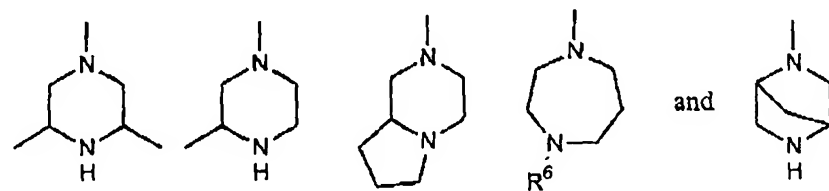


wherein R^6 is H, C_{1-3} alkyl, or benzyl.

17. (Previously Presented) A compound according to claim 1, wherein Ar is $-R^9$ -phenyl; each of R^2 and R^3 is H; and R^4 is independently a heterocyclic ring selected from the group consisting of:



or a heterocyclic ring selected from the group consisting of:



Applicant : Patrizia Caldirola et al.
 Serial No. : 10/037,110
 Filed : October 22, 2001
 Page : 11 of 26

Attorney's Docket No.: 13425-052001 / 00382-US

wherein R⁶ is H, C₁₋₃ alkyl, or benzyl; R⁹ is C₁₋₃ alkyl or C₂₋₃ alkenyl, either of which is optionally substituted with phenyl or phenyloxy; each phenyl being optionally substituted with F, Cl, Br, methyl, CF₃, C₁₋₄ alkoxy, OCF₃, CN, NO₂, phenyloxy, phenyl, methylsulfonyl, or -NR⁷R⁸; and each of R⁷ and R⁸ being independently H or C₁₋₆ alkyl.

18. (Currently Amended) A compound selected from the group consisting of:
 4-(5-aza-indolizidinyl)-1-(2-methylbenzenesulfonyl)-1H-indole hydrochloride,
 4-(3-methyl-1-piperazinyl)-1-(2-methylbenzenesulfonyl)-1H-indole hydrochloride,
 4-(*cis*-3,5-dimethyl-1-piperazinyl)-1-(2-methylbenzenesulfonyl)-1H-indole hydrochloride,
 4-((1S,4S)-2-methyl-2,5-diazabicyclo[2.2.1]heptyl)-1-(2-methylbenzenesulfonyl)-1H-indole hydrochloride, ~~and~~
 4-(*cis* 3,5-dimethyl-1-piperazinyl)-1-(benzenesulfonyl)-1H-indole hydrochloride[[.]],
 and
4-(3-methylpiperazine)-(N-(4-trifluoromethyl)phenylsulfonyl)indole dihydrochloride.

19. (Cancelled)

20. (Cancelled)

21. (Cancelled)

22. (Previously Presented) A pharmaceutical composition comprising a compound of claim 1 and a pharmaceutically acceptable carrier.

23. (Original) A pharmaceutical composition comprising a compound of claim 18 and a pharmaceutically acceptable carrier.

Applicant : Patrizia Caldirola et al.
 Serial No. : 10/037,110
 Filed : October 22, 2001
 Page : 12 of 26

Attorney's Docket No.: 13425-052001 / 00382-US

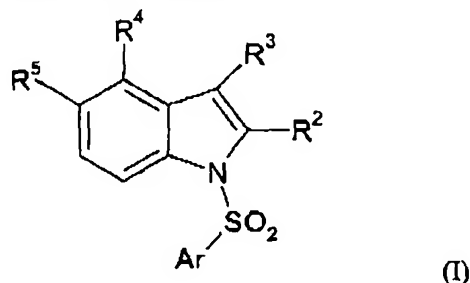
24. (Previously Presented) A method of treatment of a disease mediated by the serotonin related 5-HT₆ receptor comprising administering to a patient in need thereof a therapeutically effective amount of a compound according to claim 1.

25. (Previously Presented) A method of treatment of a disease mediated by the serotonin related 5-HT₆ receptor comprising administering to a patient in need thereof a therapeutically effective amount of a compound according to claim 18.

26. Cancelled.

27. (Original) The method according to claim 24, wherein the disease is a CNS disorder.

28. (Previously Presented) A compound of formula (I):



wherein

Ar is

(1) phenyl,

(2) naphthyl,

(3) a 5- to 10-membered monocyclic or bicyclic heterocyclic ring having 1 to 4 heteroatoms selected from the group consisting of oxygen, sulfur, or nitrogen, or

(4) -R⁹-phenyl;

wherein the phenyl, naphthyl, or heterocyclic ring is optionally substituted with halogen, C₁₋₆ alkyl, CF₃, hydroxyl, C₁₋₆ alkoxy, OCF₃, COCF₃, CN, NO₂, phenyloxy, phenyl, C₁₋₆

Applicant : Patrizia Caldirola et al.
 Serial No. : 10/037,110
 Filed : October 22, 2001
 Page : 13 of 26

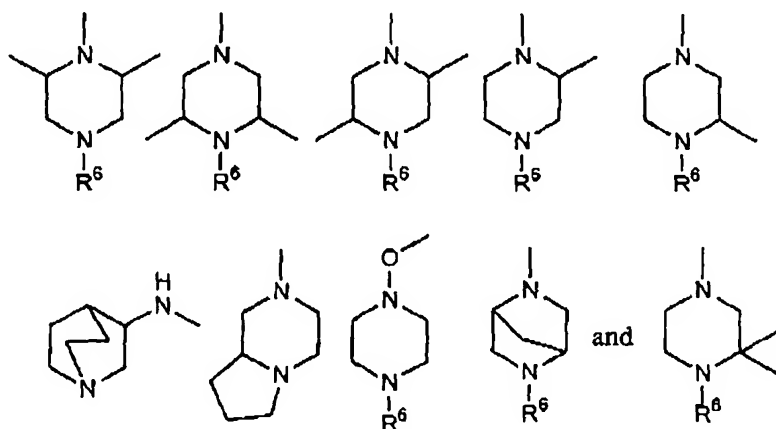
Attorney's Docket No.: 13425-052001 / 00382-US

alkylsulfonyl, C_{2-6} alkenyl, $-NR^7R^8$, C_{1-6} alkylcarboxyl, formyl, $-C_{1-6}$ alkyl-NH-CO-phenyl, $-C_{1-6}$ alkyl-CO-NH-phenyl, $-NH-CO-C_{1-6}$ alkyl, $-CO-NR^7R^8$, or SR^7 ; wherein each of R^7 and R^8 is independently H or C_{1-6} alkyl; and R^9 is C_{1-6} alkyl or C_{2-6} alkenyl, either of which is optionally substituted with phenyl or phenyloxy;

R^2 is H, phenyl, I, or C_{1-6} alkyl;

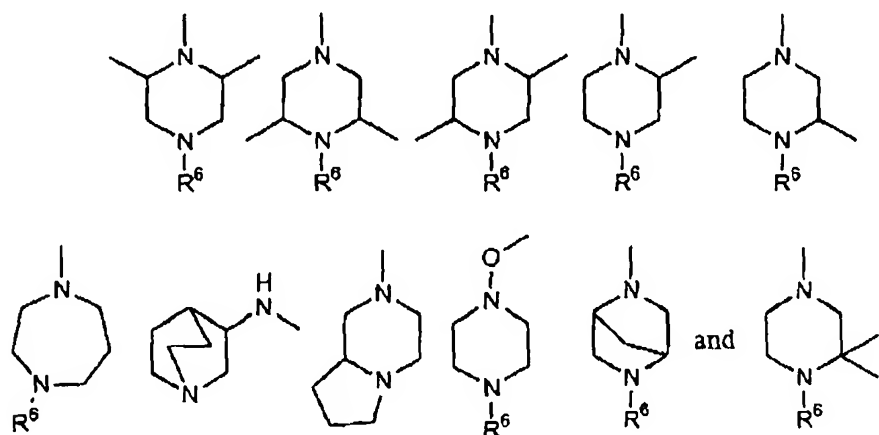
R^3 is H or 3-(1-azabicyclo[2.2.2]oct-2-en)yl;

R^4 is H or is selected from the group consisting of:



wherein R^6 is H, C_{1-6} alkyl, or benzyl; and

R^5 is hydroxy, C_{1-3} alkoxy, F, NO_2 , CF_3 , OCF_3 , or is selected from the group consisting of:



Applicant : Patrizia Caldirola et al.
 Serial No. : 10/037,110
 Filed : October 22, 2001
 Page : 14 of 26

Attorney's Docket No.: 13425-052001 / 00382-US

or a pharmaceutically acceptable salt, hydrate, or stereoisomer thereof,
 with the proviso that when R^2 is alkyl, R^4 is not H.

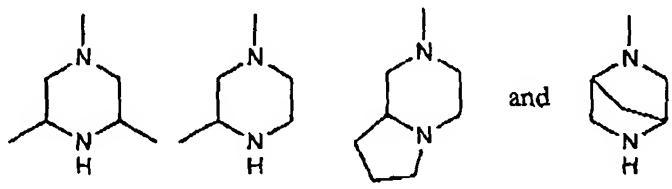
29. (Previously Presented) The compound of claim 1, wherein R^5 is H.
30. (Previously Presented) The compound of claim 28, wherein R^4 is H.
31. (Cancelled)
32. (Previously Presented) A compound that is 3-(1-azabicyclo[2.2.2]oct-2-en-3-yl)-1-[(4-fluorophenyl)sulfonyl]-1H-indole.
33. (Previously Presented) A pharmaceutical composition comprising a compound of claim 28 or 30 and a pharmaceutically acceptable carrier.
34. (Previously Presented) A method of treatment of a disease mediated by the serotonin related 5-HT₆ receptor comprising administering to a patient in need thereof a therapeutically effective amount of a compound according to claim 28.
35. (Previously Presented) The method of claim 34, wherein the disease is a CNS disorder.
36. (Previously Presented) A method of treating obesity, memory disorder, schizophrenia, Parkinson's disease, depression, attention deficit hyperactive disorders, or drug abuse comprising administering to a patient in need thereof a therapeutically effective amount of a compound according to claim 1 or 28.

Applicant : Patrizia Caldirola et al.
Serial No. : 10/037,110
Filed : October 22, 2001
Page : 15 of 26

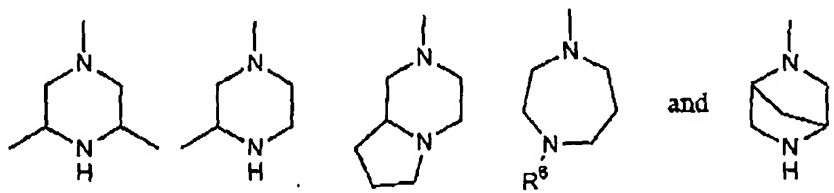
Attorney's Docket No.: 13425-052001 / 00382-US

37. (Previously Presented) A method of treating obesity, memory disorder, schizophrenia, Parkinson's disease, depression, or attention deficit hyperactive disorders, or drug abuse comprising administering to a patient in need thereof a therapeutically effective amount of a compound according to claim 29 or 30.

38. (Previously Presented) A compound according to claim 28, wherein R^4 is independently H or a heterocyclic ring selected from the group consisting of:



and R^5 is independently a heterocyclic ring selected from the group consisting of:

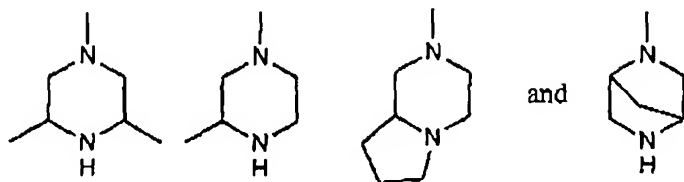


wherein R^6 is H, C_{1-3} alkyl, or benzyl.

39. (Previously Presented) A compound according to claim 28, wherein Ar is phenyl, optionally substituted with F, Cl, Br, methyl, CF_3 , C_{1-4} alkoxy, OCF_3 , CN, NO_2 , phenyloxy, phenyl, methylsulfonyl, or $-NR^7R^8$ where each of R^7 and R^8 is independently H or methyl; each of R^2 and R^3 is H; and R^4 is independently H or a heterocyclic ring selected from the group consisting of:

Applicant : Patrizia Caldirola et al.
Serial No. : 10/037,110
Filed : October 22, 2001
Page : 16 of 26

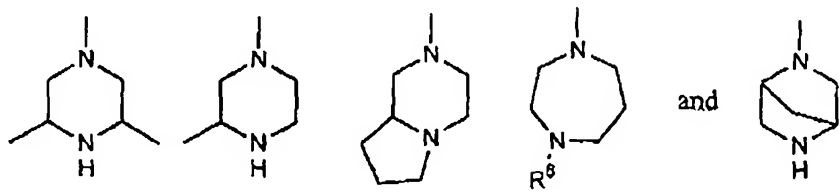
Attorney's Docket No.: 13425-052001 / 00382-US



and

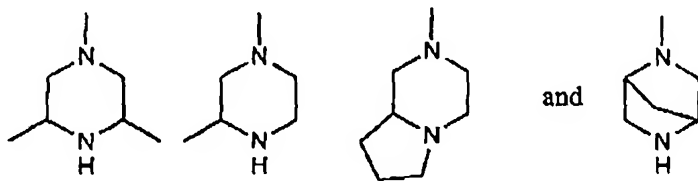
, and R^5 is independently a

heterocyclic ring selected from the group consisting of:



wherein R^6 is H, C_{1-3} alkyl, or benzyl.

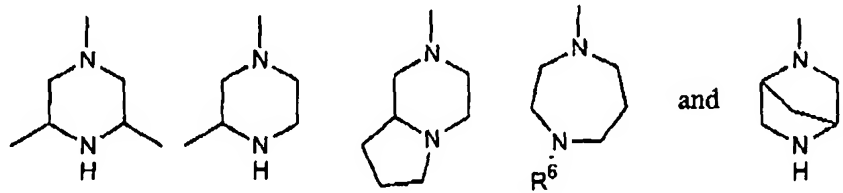
40. (Previously Presented) A compound according to claim 28, wherein Ar is 1-naphthyl or 2-naphthyl, each of which is optionally substituted with F, Cl, Br, methyl, CF_3 , C_{1-4} alkoxy, OCF_3 , CN, NO_2 , phenyloxy, phenyl, methylsulfonyl, or $-NR^7R^8$, where each of R^7 and R^8 is independently H or methyl; each of R^2 and R^3 is H; and R^4 is independently H or a heterocyclic ring selected from the group consisting of:



and

, and R^5 is independently a

heterocyclic ring selected from the group consisting of:

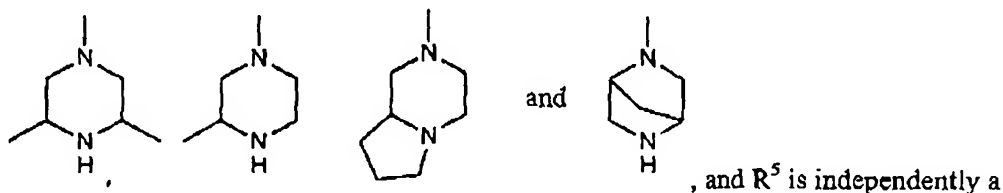


wherein R^6 is H, C_{1-3} alkyl, or benzyl.

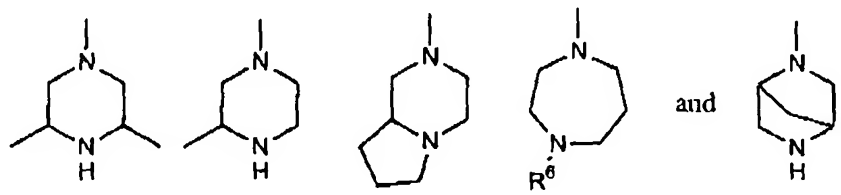
Applicant : Patrizia Caldirola et al.
Serial No. : 10/037,110
Filed : October 22, 2001
Page : 17 of 26

Attorney's Docket No.: 13425-052001 / 00382-US

41. (Previously Presented) A compound according to claim 1, wherein Ar is a heterocyclic ring selected from the group consisting of pyridinyl, thienyl, imidazolyl, pyrazolyl, benzothienyl, and benzoxadiazolyl, each being optionally substituted with halogen or C₁₋₆ alkyl; each of R² and R³ is H; and R⁴ is independently H or a heterocyclic ring selected from the group consisting of:

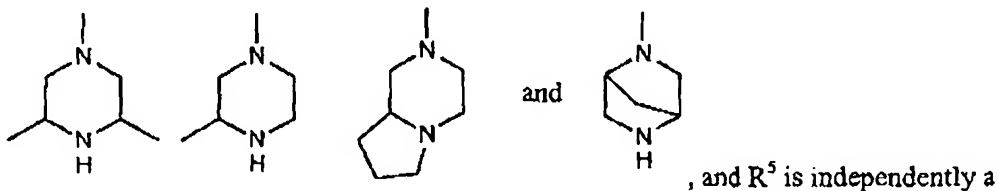


heterocyclic ring selected from the group consisting of:



wherein R⁶ is H, C₁₋₃ alkyl, or benzyl.

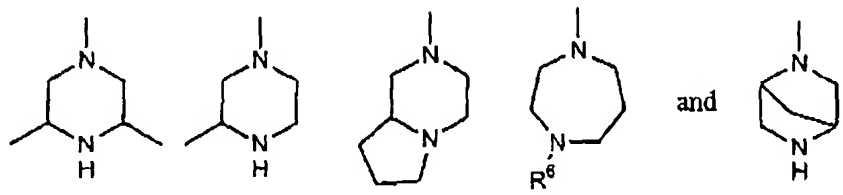
42. (Previously Presented) A compound according to claim 28, wherein Ar is 2-pyridyl, 3-pyridyl, or 4-pyridyl; each of R² and R³ is H; and R⁴ is independently H or a heterocyclic ring selected from the group consisting of:



heterocyclic ring selected from the group consisting of:

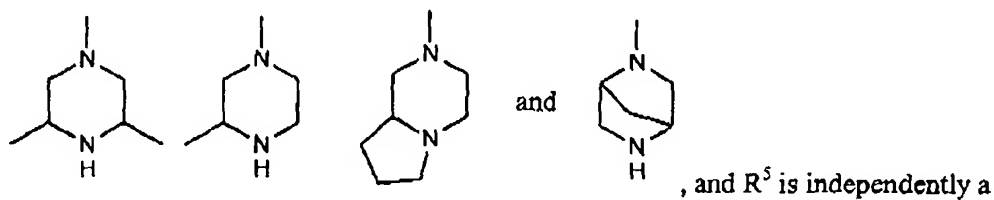
Applicant : Patrizia Caldirola et al.
 Serial No. : 10/037,110
 Filed : October 22, 2001
 Page : 18 of 26

Attorney's Docket No.: 13425-052001 / 00382-US

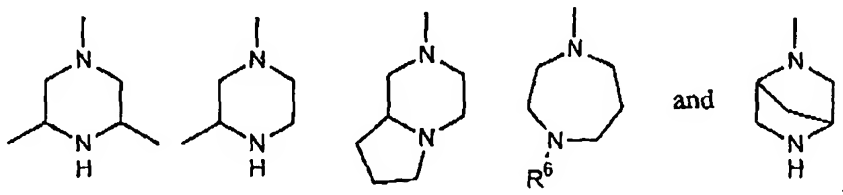


wherein R^6 is H, C_{1-3} alkyl, or benzyl.

43. (Previously Presented) A compound according to claim 1, wherein Ar is $-R^9$ -phenyl; each of R^2 and R^3 is H; and R^4 is independently H or a heterocyclic ring selected from the group consisting of:



heterocyclic ring selected from the group consisting of:



wherein R^6 is H, C_{1-3} alkyl, or benzyl; R^9 is C_{1-3} alkyl or C_{2-3} alkenyl, either of which is optionally substituted with phenyl or phenyloxy; each phenyl being optionally substituted with F, Cl, Br, methyl, CF_3 , C_{1-4} alkoxy, OCF_3 , CN, NO_2 , phenyloxy, phenyl, methylsulfonyl, or $-NR^7R^8$; and each of R^7 and R^8 being independently H or C_{1-6} alkyl.

44. (Previously Presented) A method of treatment of a disease mediated by the serotonin related 5-HT₆ receptor comprising administering to a patient in need thereof a therapeutically effective amount of a compound according to claim 29.

Applicant : Patrizia Caldirola et al.
 Serial No. : 10/037,110
 Filed : October 22, 2001
 Page : 19 of 26

Attorney's Docket No.: 13425-052001 / 00382-US

45. (Cancelled)

46. (Previously Presented) A pharmaceutical composition comprising a compound of claim 29 and a pharmaceutically acceptable carrier.

47. (Currently Amended) The compound according to claim 28, wherein Ar is

(1) phenyl that is unsubstituted or optionally mono- or poly-substituted with halogen, C₁₋₆ alkyl, CF₃, hydroxyl, C₁₋₆ alkoxy, OCF₃, CN, NO₂, phenyloxy, phenyl, alkylsulfonyl, C₁₋₆ alkenyl, -NH₂, -NHR⁷, -NR⁷R⁸, C₁₋₆ alkylcarboxyl, formyl, -NH-CO-C₁₋₆ alkyl, -CO-NR⁷R⁸, or SR⁷ wherein each of R⁷ and R⁸ is independently H or C₁₋₆ alkyl;

(2) 1-naphthyl or 2-naphthyl that is unsubstituted or optionally mono- or poly-substituted with halogen, C₁₋₆ alkyl, CF₃, hydroxyl, C₁₋₆ alkoxy, OCF₃, CN, NO₂, phenyloxy, phenyl, alkylsulfonyl, C₁₋₆ alkenyl, -NH₂, -NHR⁷, -NR⁷R⁸, C₁₋₆ alkylcarboxyl, formyl, -NH-CO-C₁₋₆ alkyl, -CO-NR⁷R⁸, or SR⁷ wherein each of R⁷ and R⁸ is independently H or C₁₋₆ alkyl;

(3) ~~cinnamoyl~~ cinnamoyl;

(4) benzyl;

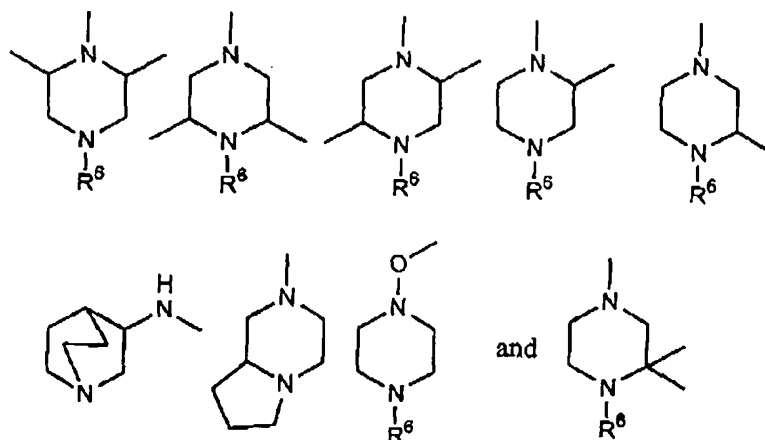
(5) 1,1-diphenylethyl;

(6) a monocyclic or bicyclic heterocyclic ring selected from the group consisting of furyl, pyrrolyl, triazolyl, diazolyl, oxazolyl, thiazolyl, oxadiazolyl, isothiazolyl, isoxazolyl, thiadiazolyl, pyrimidyl, pyrazinyl, thienyl, imidazolyl, pyrazolyl, indolyl, quinolinyl, isoquinolinyl, benzofuryl, benzothieryl, and benzoxadiazolyl, said heterocyclic ring being optionally mono- or di-substituted substituted with halogen or C₁₋₆ alkyl;

R⁴ is H or is selected from the group consisting of:

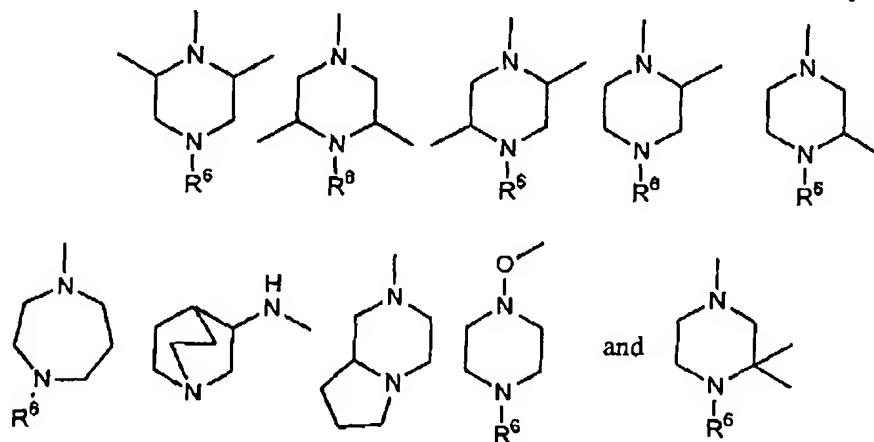
Applicant : Patrizia Caldirola et al.
Serial No. : 10/037,110
Filed : October 22, 2001
Page : 20 of 26

Attorney's Docket No.: 13425-052001 / 00382-US



wherein R⁶ is H, C₁₋₆ alkyl, or benzyl; and

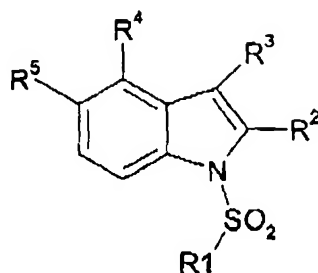
R⁵ is hydroxy, C₁₋₃ alkoxy, F, NO₂, CF₃, OCF₃ or is selected from the group consisting of:



48. (Previously Presented) A compound of formula (I):

Applicant : Patrizia Caldirola et al.
Serial No. : 10/037,110
Filed : October 22, 2001
Page : 21 of 26

Attorney's Docket No.: 13425-052001 / 00382-US



wherein

 R^1 is $-\text{SO}_2\text{Ar}$; $-\text{SO}_2(\text{alkyl})$

Ar is phenyl, optionally substituted with F, Cl, Br, C_{1-6} alkyl, CF_3 , hydroxyl, C_{1-6} alkoxy, OCF_3 , NO_2 , amino, alkylamino, dialkylamino, methylcarboxyl, aminocarbonyl, or SR^7 ; wherein R^7 is H or C_{1-6} alkyl; 1-naphthyl, 2-naphthyl; a bicyclic heterocyclic ring or a 5- to 7-membered partially or completely saturated heterocyclic ring each having 1 to 4 heteroatoms selected from the group consisting of oxygen, sulfur, or nitrogen; and alkyl is linear or branched C_{1-6} alkyl;

 R^2 is H or linear or branched C_{1-4} alkyl; R^3 is H, or 3-(1-azabicyclo[2.2.2]oct-2-en)yl, or 3-quinuclidinyl;

Applicant : Patrizia Caldirola et al.
Serial No. : 10/037,110
Filed : October 22, 2001
Page : 23 of 26

Attorney's Docket No.: 13425-052001 / 00382-US

50. (Currently Amended) The compound of claim ~~1~~ 48, wherein the compound is selected from:

1-(phenylsulfonyl)-4-(1-piperazinyl)-1H-indole,
1-[(4-fluorophenyl)sulfonyl]-4-(1-piperazinyl)-1H-indole,
1-[(5-chloro-3-methyl-1-benzothien-2-yl)sulfonyl]-4-(1-piperazinyl)-1H-indole,
3-(1-azabicyclo[2.2.2]oct-2-en-3-yl)-1-(phenylsulfonyl)-1H-indole,
5-methoxy-1-(phenylsulfonyl)-4-(1-piperazinyl)-1H-indole,
4-(4-ethyl-1-piperazinyl)-1-(phenylsulfonyl)-1H-indole,
1-[(4-methylphenyl)sulfonyl]-4-(4-methyl-1-piperazinyl)-1H-indole,
1-(phenylsulfonyl)-5-(1-piperazinyl)-1H-indole,
4-(2,5-dimethyl-1-piperazinyl)-1-(phenylsulfonyl)-1H-indole,
4-(2,6-dimethyl-1-piperazinyl)-1-(phenylsulfonyl)-1H-indole,
4-(1,4-diazepan-1-yl)-1-(phenylsulfonyl)-1H-indole,
2-[1-(phenylsulfonyl)-1H-indol-4-yl]octahydropyrrolo[1,2-a]pyrazine 1-(2-naphthylsulfonyl)-4-(1-piperazinyl)-1H-indole,
1-(1-naphthylsulfonyl)-4-(1-piperazinyl)-1H-indole,
1-[(4-methylphenyl)sulfonyl]-4-(1-piperazinyl)-1H-indole,
N-(1-azabicyclo[2.2.2]oct-3-yl)-N-{1-[(4-methylphenyl)sulfonyl]-1H-indol-4-yl} amine,
2-ethyl-4-(4-ethyl-1-piperazinyl)-1-(phenylsulfonyl)-1H-indole,
4-(2,5-dimethyl-1-piperazinyl)-2-ethyl-1-(phenylsulfonyl)-1H-indole,
4-(2,5-dimethyl-1-piperazinyl)-1-[(4-methylphenyl)sulfonyl]-2-propyl-1H-indole,
4-(4-ethyl-1-piperazinyl)-1-[(4-methylphenyl)sulfonyl]-2-propyl-1H-indole,
4-(4-ethyl-1-piperazinyl)-5-fluoro-1-[(4-methylphenyl)sulfonyl]-1H-indole,
5-fluoro-4-(1-piperazinyl)-1-[[4-(trifluoromethyl)phenyl]sulfonyl]-1H-indole,

Applicant : Patrizia Caldirola et al.
Serial No. : 10/037,110
Filed : October 22, 2001
Page : 24 of 26

Attorney's Docket No.: 13425-052001 / 00382-US

5-chloro-1-(phenylsulfonyl)-4-(1-piperazinyl)-1H-indole,
1-[(5-chloro-3-methyl-1-benzothien-2-yl)sulfonyl]-5-methoxy-4-(1-piperazinyl)-1H-indole,
1-[(5-chloro-3-methyl-1-benzothien-2-yl)sulfonyl]-5-(1-piperazinyl)-1H-indole,
1-[(4-methylphenyl)sulfonyl]-4-(3-methyl-1-piperazinyl)-1H-indole, or
1-[(4-methylphenyl)sulfonyl]-4-(piperidinyl-oxy)-1H-indole[.], or
2-ethyl-1-(4-methyl-phenylsulfonyl)-4-(1-piperazinyl)-1H-indole.

51. (Previously Presented) The compound of claim 50, wherein the compound is 1-(phenylsulfonyl)-4-(1-piperazinyl)-1H-indole.

52. (Previously Presented) The compound of claim 50, wherein the compound is 1-[(4-fluorophenyl)sulfonyl]-4-(1-piperazinyl)-1H-indole.

53. (Previously Presented) The compound of claim 50, wherein the compound is 1-[(5-chloro-3-methyl-1-benzothien-2-yl)sulfonyl]-4-(1-piperazinyl)-1H-indole.

54. (Previously Presented) A method of treatment of a disease mediated by the serotonin related 5-HT₆ receptor comprising administering to a patient in need thereof a therapeutically effective amount of a compound according to claim 48.

55. (Previously Presented) A method of treatment of a disease mediated by the serotonin related 5-HT₆ receptor comprising administering to a patient in need thereof a therapeutically effective amount of a compound according to claim 49.

Applicant : Patrizia Caldirola et al.
Serial No. : 10/037,110
Filed : October 22, 2001
Page : 25 of 26

Attorney's Docket No.: 13425-052001 / 00382-US

56. (Previously Presented) A method of treatment of a disease mediated by the serotonin related 5-HT₆ receptor comprising administering to a patient in need thereof a therapeutically effective amount of a compound according to claim 50.

57. (Previously Presented) The method as in claims 54, 55, or 56, in which the disease is a CNS disorder.

58. (Previously Presented) The method as in claims 54, 55, or 56, in which the disease is obesity.

59. (Previously Presented) A pharmaceutical composition comprising a compound of claim 48 and a pharmaceutically acceptable carrier.

60. (Previously Presented) A pharmaceutical composition comprising a compound of claim 49 and a pharmaceutically acceptable carrier.

61. (Previously Presented) A pharmaceutical composition comprising a compound of claim 50 and a pharmaceutically acceptable carrier.